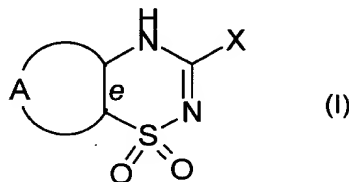


## WHAT IS CLAIMED IS:

1. A process for the preparation of a compound of formula (I)



- 5 wherein

X is  $\text{NR}^2\text{R}^3$ ,  $\text{SR}^1$ ,  $\text{S(=O)R}^1$ ,  $\text{S(=O)}_2\text{R}^1$  or  $\text{OR}^1$ ;

- Sub A1*
- $\text{R}^1$  is hydrogen;  $\text{C}_{3-6}$ -cycloalkyl or  $(\text{C}_{3-6}\text{-cycloalkyl})\text{C}_{1-6}\text{-alkyl}$ , wherein the  $\text{C}_{3-6}$ -cycloalkyl group is optionally mono- or polysubstituted with  $\text{C}_{1-6}$ -alkyl, halogen, hydroxy or  $\text{C}_{1-6}$ -alkoxy; a 3-6
- 10 membered saturated ring system comprising one or more nitrogen-, oxygen- or sulfur atoms, optionally being mono- or polysubstituted with halogen, cyano, trifluoromethyl,  $\text{C}_{1-6}$ -alkyl,  $\text{C}_{1-6}$ -alkoxy,  $\text{C}_{1-6}$ -alkoxy- $\text{C}_{1-6}$ -alkyl, aryl, arylalkyl, hydroxy, oxo, nitro, amino,  $\text{C}_{1-6}$ -monoalkyl or dialkylamino; straight or branched  $\text{C}_{1-18}$ -alkyl,  $\text{C}_{2-18}$ -alkenyl or  $\text{C}_{2-18}$ -alkynyl, wherein each of the groups is optionally mono- or polysubstituted with halogen, hydroxy,  $\text{C}_{1-6}$ -alkoxy,  $\text{C}_{1-6}$ -
- 15 alkylthio,  $\text{C}_{3-6}$ -cycloalkyl, nitro, amino,  $\text{C}_{1-6}$ -monoalkyl- or dialkylamino, cyano, oxo, formyl, acyl, carboxy,  $\text{C}_{1-6}$ -alkoxycarbonyl, carbamoyl, formylamino,  $\text{C}_{1-6}$ -alkylcarbonylamino, aryl, aryloxy, arylalkoxy; or bicycloalkyl, aryl, heteroaryl, arylalkyl or heteroarylalkyl, wherein each of the groups is optionally mono- or polysubstituted with halogen, hydroxy,  $\text{C}_{1-6}$ -alkyl,  $\text{C}_{1-6}$ -alkoxy, aryloxy, arylalkoxy, nitro, amino,  $\text{C}_{1-6}$ -monoalkyl- or dialkylamino, cyano, oxo, acyl or
- 20  $\text{C}_{1-6}$ -alkoxycarbonyl;

$\text{R}^2$  is hydrogen; hydroxy;  $\text{C}_{1-6}$ -alkoxy; or  $\text{C}_{1-6}$ -alkyl,  $\text{C}_{3-6}$ -cycloalkyl,  $\text{C}_{2-6}$ -alkenyl or  $\text{C}_{2-6}$ -alkynyl optionally mono- or polysubstituted with halogen;

- 25  $\text{R}^3$  is hydrogen;  $\text{C}_{3-6}$ -cycloalkyl or  $(\text{C}_{3-6}\text{-cycloalkyl})\text{C}_{1-6}\text{-alkyl}$ , wherein the  $\text{C}_{3-6}$ -cycloalkyl group is optionally mono- or polysubstituted with  $\text{C}_{1-6}$ -alkyl, halogen, hydroxy or  $\text{C}_{1-6}$ -alkoxy; a 3-6 membered saturated ring system comprising one or more nitrogen-, oxygen- or sulfur atoms; or straight or branched  $\text{C}_{1-18}$ -alkyl optionally mono- or polysubstituted with halogen, hydroxy,  $\text{C}_{1-6}$ -alkoxy,  $\text{C}_{1-6}$ -alkylthio,  $\text{C}_{3-6}$ -cycloalkyl, aryl, aryloxy, arylalkoxy, nitro, amino,  $\text{C}_{1-6}$ -
- 30 monoalkyl- or dialkylamino, cyano, oxo, formyl, acyl, carboxy,  $\text{C}_{1-6}$ -alkoxycarbonyl, or carbamoyl; or

$R^3$  is  $-OR^4$ ;  $-C(=Z)R^4$ ;  $-NR^4R^5$ ; or bicycloalkyl, aryl, heteroaryl, arylalkyl or heteroarylalkyl, optionally mono- or polysubstituted with halogen, hydroxy,  $C_{1-6}$ -alkyl,  $C_{1-6}$ -alkoxy, aryloxy, arylalkoxy, nitro, amino,  $C_{1-6}$ -monoalkyl- or dialkylamino, cyano, oxo, acyl or  $C_{1-6}$ -alkoxycarbonyl;

$R^4$  is hydrogen;  $C_{3-6}$ -cycloalkyl or  $(C_{3-6}$ -cycloalkyl) $C_{1-6}$ -alkyl, wherein the  $C_{3-6}$ -cycloalkyl group is optionally mono- or polysubstituted with  $C_{1-6}$ -alkyl, halogen, hydroxy or  $C_{1-6}$ -alkoxy; a 3-6 membered saturated ring system comprising one or more nitrogen-, oxygen- or sulfur atoms; or straight or branched  $C_{1-18}$ -alkyl optionally mono- or polysubstituted with halogen, hydroxy,  $C_{1-6}$ -alkoxy,  $C_{1-6}$ -alkylthio,  $C_{3-6}$ -cycloalkyl, aryl, aryloxy, arylalkoxy, nitro, amino,  $C_{1-6}$ -monoalkyl- or dialkylamino, cyano, oxo, formyl, acyl, carboxy,  $C_{1-6}$ -alkoxycarbonyl, or carbamoyl;

Z is O or S;

$R^5$  is hydrogen;  $C_{1-6}$ -alkyl;  $C_{2-6}$ -alkenyl;  $C_{3-6}$ -cycloalkyl optionally mono- or polysubstituted with  $C_{1-6}$ -alkyl, halogen, hydroxy or  $C_{1-6}$ -alkoxy; or

when  $R^3$  is  $-NR^4R^5$ ,  $R^4$  and  $R^5$  together with the nitrogen atom form a 3-12 membered mono- or bicyclic system, in which one or more of the carbon atoms may be exchanged with nitrogen, oxygen or sulfur, each of these ring systems optionally being mono- or polysubstituted with halogen,  $C_{1-6}$ -alkyl, hydroxy,  $C_{1-6}$ -alkoxy,  $C_{1-6}$ -alkoxy- $C_{1-6}$ -alkyl, nitro, amino, cyano, trifluoromethyl,  $C_{1-6}$ -monoalkyl- or dialkylamino, or oxo; or

when X is  $-NR^2R^3$ ,  $R^2$  and  $R^3$  together with the nitrogen atom form a 3-12 membered mono- or bicyclic system, in which one or more of the carbon atoms may be exchanged with nitrogen, oxygen or sulfur, each of these ring systems optionally being mono- or polysubstituted with halogen,  $C_{1-6}$ -alkyl, hydroxy,  $C_{1-6}$ -alkoxy,  $C_{1-6}$ -alkoxy- $C_{1-6}$ -alkyl, nitro, amino, cyano, trifluoromethyl,  $C_{1-6}$ -monoalkyl- or dialkylamino or oxo;

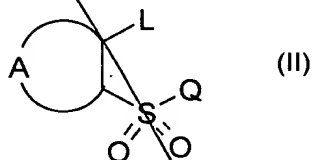
A together with the carbon atoms forming bond e of formula I represents a 5 membered heterocyclic system comprising one or more nitrogen-, oxygen- or sulfur atoms, the heterocyclic system optionally being mono- or polysubstituted with halogen;  $C_{1-18}$ -alkyl;  $C_{3-6}$ -cycloalkyl; hydroxy;  $C_{1-6}$ -alkoxy;  $C_{1-6}$ -alkoxy- $C_{1-6}$ -alkyl; nitro; amino; cyano; cyanomethyl; perhalomethyl;  $C_{1-6}$ -monoalkyl- or dialkylamino; sulfamoyl;  $C_{1-6}$ -alkylthio;  $C_{1-6}$ -alkylsulfonyl;  $C_{1-6}$ -alkylsulfinyl;

$C_{1-6}$ -alkylcarbonylamino; arylthio, arylsulfinyl, arylsulfonyl, aryl, arylalkyl, or aryloxy, wherein the aryl group is optionally mono- or polysubstituted with  $C_{1-6}$ -alkyl, perhalomethyl, halogen, hydroxy or  $C_{1-6}$ -alkoxy;  $C_{1-6}$ -alkoxycarbonyl;  $C_{1-6}$ -alkoxycarbonyl- $C_{1-6}$ -alkyl; carbamyl; carbamylmethyl;  $C_{1-6}$ -monoalkyl- or dialkylaminocarbonyl;  $C_{1-6}$ -monoalkyl- or dialkylaminothio-  
 5 carbonyl, ureido;  $C_{1-6}$ -monoalkyl- or dialkylaminocarbonylamino; thiocarbamyl; thioureido;  $C_{1-6}$ -monoalkyl- or dialkylaminothiocarbonyl- amino;  $C_{1-6}$ -monoalkyl- or dialkylaminosulfonyl; carboxy; carboxy- $C_{1-6}$ -alkyl; acyl; formyl; or a 5 - 6 membered nitrogen, oxygen or sulfur containing ring, optionally substituted with  $C_{1-6}$ -alkyl or phenyl, wherein the phenyl group is optionally mono- or polysubstituted with  $C_{1-6}$ -alkyl, perhalomethyl, halogen, hydroxy or  $C_{1-6}$ -  
 10 alkoxy; or

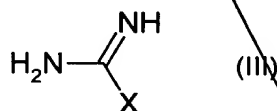
a salt thereof with a pharmaceutically acceptable acid or base, or an optical isomer thereof, or a tautomeric form thereof, or metabolites or prodrugs thereof,

15 comprising one of the following methods:

a) reacting a compound of formula (II)

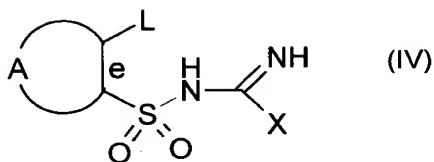


20 wherein A is as defined above, L is a leaving group selected from alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, nitro or halogen and Q is halogen, with a compound of formula (III),



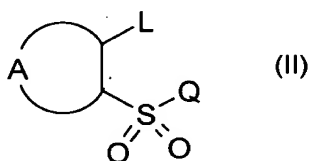
wherein X is  $NR^2R^3$ , wherein  $R^2$  and  $R^3$  are defined above, or a suitable salt thereof, in the presence of a base in solvent 1, to form a compound of formula (IV)

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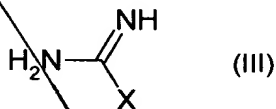


wherein A, L and X are as defined above, and  
cyclizing the compound of formula (IV) in solvent 2, optionally in the presence of a base, and  
optionally with a metal catalyst, to form a compound of formula (I), or

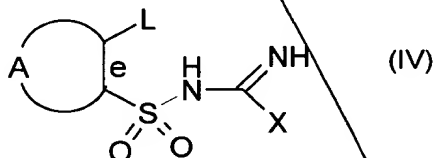
- 5 b) reacting a compound of formula (II)



wherein A is as defined above, L is a leaving group selected from alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl or halogen and Q is halogen, with a compound of formula (III),



- 10 wherein X is SR<sup>1</sup>, S(=O)R<sup>1</sup> or S(=O)<sub>2</sub>R<sup>1</sup>, wherein R<sup>1</sup> is defined above, or a suitable salt thereof, in the presence of a base in solvent 1, to form a compound of formula (IV)



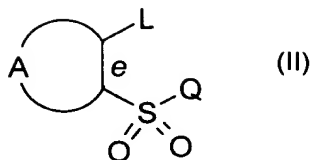
- 15 wherein A, L and X are as defined above, and  
cyclizing the compound of formula (IV) in solvent 2, optionally in the presence of a base, and  
optionally with a metal catalyst, to form a compound of formula (I), or

- c) reacting a compound of formula (II)

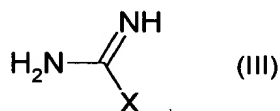
Sub  
A1

Chemical structure (IV) is a cyclohexane ring with a substituent 'A' at position 1 and a substituent 'L' at position 2. At position 3, there is a sulfonamide group: -SO<sub>2</sub>-NH-C(=NH)-X. The carbon at position 2 is labeled 'e'.

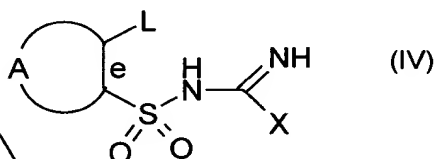
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wherein A is as defined above, L is a leaving group selected from alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl or halogen and Q is halogen, with a compound of formula (III),

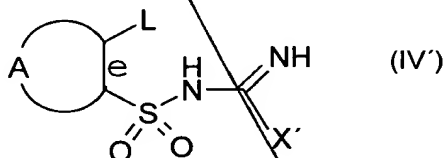


wherein X is OR<sup>1</sup>, wherein R<sup>1</sup> is defined above, or a suitable salt thereof, in the presence of a base in solvent 1, to form a compound of formula (IV)



wherein A, L and X are as defined above, and cyclizing the compound of formula (IV) in solvent 2, optionally in the presence of a base, and optionally with a metal catalyst, to form a compound of formula (I), or

d) transforming a compound of formula (IV) to a compound of formula (IV')



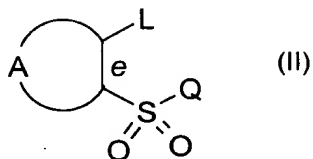
wherein A, L and X are as defined above, and X is transformed into X', wherein X' is selected from the groups defined for X, with the proviso that X' ≠ X, and

cyclizing the compound of formula (IV') in solvent 2, optionally in the presence of a base, and optionally with a metal catalyst, to form a compound of formula (I), or

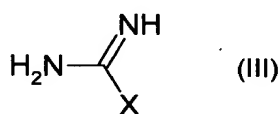
e) transforming a compound of formula (I), prepared as described above, by oxidation or substitution or both, to form another compound of formula (I).

2. A process according to claim 1 comprising:

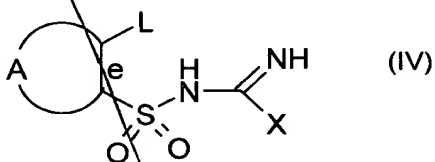
reacting a compound of formula (II)



5 wherein A is as defined above, L is a leaving group selected from alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, nitro or halogen and Q is halogen, with a compound of formula (III)



10 wherein X is  $\text{NR}^2\text{R}^3$ , wherein  $\text{R}^2$  and  $\text{R}^3$  are defined above, or a suitable salt thereof, in the presence of a base in solvent 1, to form a compound of formula (IV)

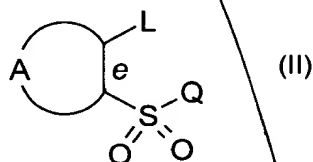


wherein A, L and X are as defined above, and cyclizing the compound of formula (IV) in solvent 2, optionally in the presence of a base, and optionally by treatment with a metal catalyst, to form a compound of formula (I).

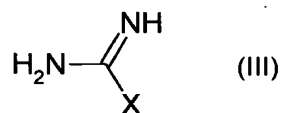
15

3. A process according to claim 1 comprising:

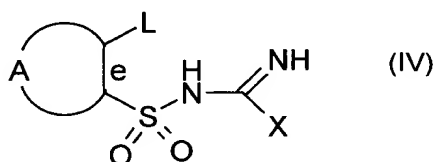
reacting a compound of formula (II)



wherein A is as defined above, L is a leaving group selected from alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, nitro or halogen and Q is halogen, with a compound of formula (III),



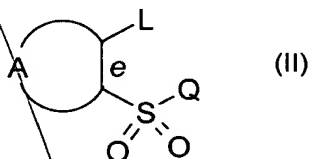
wherein X is  $\text{SR}^1$ ,  $\text{S}(=\text{O})\text{R}^1$  or  $\text{S}(=\text{O})_2\text{R}^1$ , wherein  $\text{R}^1$  is defined above, or a suitable salt thereof, in the presence of a base in solvent 1, to form a compound of formula (IV)



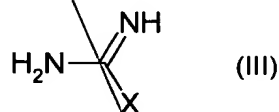
wherein A, L and X are as defined above, and cyclizing the compound of formula (IV) in solvent 2, optionally in the presence of a base and, optionally by treatment with a metal catalyst, to form a compound of formula (I).

4. A process according to claim 1 comprising:

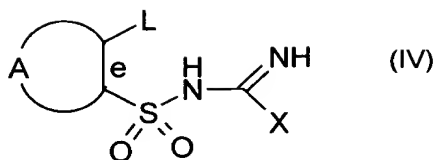
reacting a compound of formula (II)



wherein A is as defined above, L is a leaving group selected from alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, nitro or halogen and Q is halogen, with a compound of formula (III),



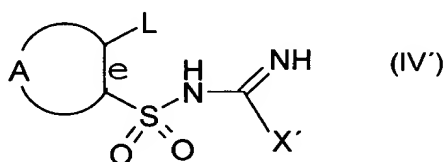
wherein X is  $\text{OR}^1$ , wherein  $\text{R}^1$  is defined above, or a suitable salt thereof, in the presence of a base in solvent 1, to form a compound of formula (IV)



wherein A, L and X are as defined above, and  
 cyclizing the compound of formula (IV) in solvent 2, optionally in the presence of a base and,  
 optionally by treatment with a metal catalyst, to form a compound of formula (I).

5 5. A process according to claim 1 comprising:

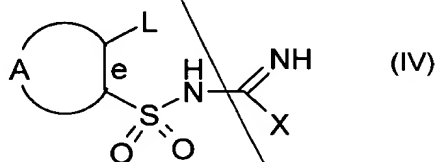
transforming a compound of formula (IV) into a compound of formula (IV')



wherein A, L and X are as defined above, and X is transformed into X', wherein X' is  
 10 selected from the groups defined for X, with the proviso that  $X' \neq X$ , and  
 cyclizing the compound of formula (IV') in solvent 2, optionally in the presence of a base and,  
 optionally by treatment with a metal catalyst, to form a compound of formula (I).

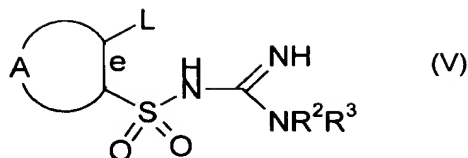
6. A process according to claim 1 comprising:

15 transforming a compound of formula (IV)



wherein A, and L are as defined above and X is  $SR^1$ ,  $S(=O)R^1$  or  $S(=O)_2R^1$ , wherein  $R^1$  is  
 20 defined above, into a compound of formula (V)





wherein A, L and R<sup>2</sup> and R<sup>3</sup> are as defined above, and cyclizing the compound of formula (V) in solvent 2, optionally in the presence of a base and, optionally by treatment with a metal catalyst, to form a compound of formula (I).

- 5 7. A process according to claim 1, wherein the process further comprises cyclizing the compound of formula (IV) in solvent 2 in the presence of a base.
8. A process according to claim 1, wherein the process further comprises cyclizing the compound of formula (IV) in solvent 2 in the presence of a base and by treatment with a metal catalyst.
9. A process according to claim 1, wherein the process further comprises cyclizing the compound of formula (IV) in solvent 2 in the presence of a base and without a metal catalyst.
10. A process according claim 1, wherein the process further comprises cyclizing the compound of formula (IV) in solvent 2 without the presence of a base.
11. A process according to claim 1, wherein the process further comprises cyclizing the compound of formula (IV) in solvent 2 by treatment with a metal catalyst without the presence of a base.
12. A process according to claim 1, wherein the process further comprises cyclizing the compound of formula (IV) in solvent 2 without the presence of a base and without a metal catalyst.
13. A process according to claim 1, wherein the process further comprises transforming a compound of formula (I), prepared as described above, by oxidation or substitution or both, to form another compound of formula (I).
14. A process according to claim 1, wherein the base is selected from sodium hydroxide, potassium carbonate, cesium carbonate or potassium hydroxide.

15. A process according to claim 1, wherein solvent 1 is selected from diethyl ether, acetone, toluene or t-butyl-methyl ether.

16. A process according to claim 1, wherein solvent 2 is selected from *N,N*-dimethylformamide, toluene, xylene, 1-butanol, *N*-methyl-2-pyrrolidinone, sulfolane, dimethylsulfoxide, DMPU or water.

17. A process according to claim 1, wherein the metal catalyst is selected from copper bronze, copper oxide, copper chloride, copper bromide or copper iodide.

18. A compound selected from the group consisting of:

3-Amino-6-chloro-4H-thieno[3,2-e]-1,2,4-thiadiazine 1,1-dioxide;

7-Bromo-6-chloro-3-propylaminothieno[2,3-e]-1,2,4-thiadiazine 1,1-dioxide;

7-Bromo-3-(*sec*-butylamino)-6-chloro-4H-thieno[2,3-e]-1,2,4-thiadiazine 1,1-dioxide;

15 7-Bromo-6-chloro-3-cyclobutylamino-4H-thieno[2,3-e]-1,2,4-thiadiazine 1,1-dioxide;

6-Chloro-3-methylsulfanyl-4H-thieno[2,3-e]-1,2,4-thiadiazine 1,1-dioxide; or

6-Chloro-3-methylsulfinyl-4H-thieno[2,3-e]-1,2,4-thiadiazine 1,1-dioxide

obtained by a process according to claim 1.

19. A compound selected from the group consisting of:

6-Bromo-3-methylsulfanyl-4H-thieno[2,3-e]-1,2,4-thiadiazine 1,1-dioxide; 6-Bromo-3-methylsulfinyl-4H-thieno[2,3-e]-1,2,4-thiadiazine 1,1-dioxide; 3-Amino-6-bromo-4H-thieno[3,2-e]-1,2,4-thiadiazine 1,1-dioxide; 6-Chloro-3-ethylamino-4H-thieno[2,3-e]-1,2,4-thiadiazine 1,1-dioxide;

20 6-Chloro-3-propylamino-4H-thieno[2,3-e]-1,2,4-thiadiazine 1,1-dioxide;

3-Isopropylamino-6-methyl-4H-thieno[3,2-e]-1,2,4-thiadiazine 1,1-dioxide;

6-Methyl-3-propylamino-4H-thieno[3,2-e]-1,2,4-thiadiazine 1,1-dioxide; or

3-*sec*-Butylamino-6-methyl-4H-thieno[3,2-e]-1,2,4-thiadiazine 1,1-dioxide

obtained by a process according to claim 1.

20. A pharmaceutical composition for the treatment or prophylaxis of Type I or Type II diabetes comprising a compound according to claim 18 and a pharmaceutically acceptable carrier.

21. A pharmaceutical composition for the treatment or prophylaxis of Type I or Type II diabetes comprising a compound according to claim 19 and a pharmaceutically acceptable carrier.

Sub  
A1 5 22. A method of treating Type I or Type II diabetes which comprises administering an effective or prophylactic amount of a compound according to claim 18 to a person suffering from Type I or Type II diabetes.

10 23. A method of treating Type I or Type II diabetes which comprises administering an effective or prophylactic amount of a compound according to claim 19 to a person suffering from Type I or Type II diabetes.